

Part of #27
8-488

CLAIM DESCRIPTION

Claim 141

Summary: Claims a condensation to form a 1-4 alpha linkage between the carbon 1 of a D-glucosamine and the carbon 4 of a uronic acid (as in heparin).

Claim 142

Summary: Claims a condensation to form a 1-4 alpha linkage between the carbon 1 of a D-glucosamine and the carbon 4 of a uronic acid, the product of the condensation having protecting groups on it which allow functional groups (e.g. sulphate) to be positioned where desired.

Specific claim language:

"a reactive group"

"which allows the condensation to occur"

"which allows a stereospecific linkage"

"semi-permanent protecting groups"

"removable in the presence of permanent protecting groups"

"are stable"

EXAMPLES OF SUPPORT IN SPECIFICATION

p. 10, line 15 (condensation generally).

As in Example 8, Fig. 10, condensation between compounds 44 and 43.

p. 10, line 15 (condensation generally).

As in Example 8, Fig. 10, condensation between compounds 44 and 43.

p. 15, line 10: "reactive derivative"

p. 16, line 10: "alcohol is reacted with a reactive derivative..."

p. 17, line 5: "these conditions enable the units... to be condensed in the desired stereochemistry."

p. 13, line 20

p. 13, line 21: "removable... without removal or alteration of the other groups present"

p. 9, line 22: "protective groups and precursor being inert with respect to the glycosylation reaction"

CLAIM DESCRIPTION

Claim 142 (Cont'd)

"permanent protecting groups"

"are stable and do not migrate to different carbon positions during removal of semi-permanent protecting groups and the introduction of functional groups... also are removable in the presence of functional groups..."

"are stable"

"nitrogen containing groups"

"are precursors to an amine"

"protecting groups which form an ester at the carboxyl groups"

"are stable during the condensation"

"functional groups... sulphate and phosphate"

Claim 143

Summary: As in claim 142, but the linkage is reversed. That is a 1-4 linkage is formed between the carbon 1 of a uronic acid with the carbon 4 of a D-glucosamine. The stereochemistry (alpha or beta) depends on whether the uronic acid is D-glucuronic or L-iduronic acid.

Specific claim language:

As in Claim 142

EXAMPLES OF SUPPORT IN SPECIFICATION

p. 14, line 1

p. 14, line 7: "groups inert with respect to the reactions carried out for the positioning of these functional groups and are removable without the functional groups being altered".

p. 9, line 22, as above

p. 14, line 20: "nitrogen group"

p. 14, line 25: "precursor of the amine function"

p. 15, line 5: "protective groups of carboxyl functions"

p. 9, line 22 as above

p. 40, line 4: "These functional groups are... sulphate... phosphate"

p. 10, line 15

As in Example 17, Fig. 15, condensation between compounds 59 and 68.

As in Claim 142

CLAIM DESCRIPTION

Dependent Claim 144

Summary: As in Claim 143, but instead of a D-glucosamine, a D-glucosamine precursor is involved in condensation; the precursor is treated to form any of semi-permanent protecting groups, permanent protecting groups, and nitrogen containing groups.

Specific claim language:

As in Claim 143, but in addition "D-glucosamine precursor has one or more precursor groups which are..."

"1,6 anhydro"

"2,3 epoxy"

"treating...to form semi-permanent or permanent protecting groups at carbons..."

Dependent Claim 145

Summary: Specifies the functional group is sulfate.

Dependent Claim 146

Summary: Specifies carbon positions the semi-permanent protecting groups and permanent protecting groups occupy.

EXAMPLES OF SUPPORT IN SPECIFICATION

See Ex. 12, Fig. 13; and Ex. 14, Fig. 14

p. 26, line 27: "1,6 anhydro"

p. 21, line 27: "2,3 epoxide"

p. 22, line 12: "a glucide chain permitting the introduction of ... semi-permanent groups and permanent groups..."

p. 18, line 25

p. 22, line 19: "the substitutions ... apart from [position 2 of D-glucosamine] are sulphate groups"

CLAIM DESCRIPTION

Dependent Claim 147

Summary: Specific group meanings are provided.

Specific claim language:

"Nitrogen containing groups are"

"N₃"

"NH-lower acyl"

"HNCO-lower arylalkyl"

"protecting groups at the carboxyl are"

"lower alkyl"

"lower aryl"

"semi-permanent protecting groups are"

"-O-lower acyl"

"permanent protecting groups are"

"-O-benzyl"

"reactive group is"

"halogen"

"o-lower imidoyl"

"orthoester formed between carbon 1 and carbon 2 of D-glucosamine"

EXAMPLES OF SUPPORT IN SPECIFICATION

p. 14, line 24

Example 17, Fig. 15, compound 62

p. 14, line 24: "NHCO benzyl"

p. 15, line 6: "alkyl radicals"
p. 24, line 13: "methyl"

p. 15, line 7: "aryl radicals"

p. 34, line 3: sp... may be ...
acyl radicals in particular acetyl
or benzoyl..."

p. 31, line 13: "p... benzyl"

p. 16, line 15: "halide...bromide
or chloride"

p. 16, lines 10: "imidate"
Ex. 11, Fig. 12, compound 54:
"C(NH)CCl₃"

p. 18, line 9: "orthoester"
Ex. 19, Fig. 16, compound 78:
orthoester having 3 carbons, com-
pound 79: orthoester having 6
carbons

CLAIM DESCRIPTION

EXAMPLES OF SUPPORT IN SPECIFICATION

Dependent Claim 148

"nitrogen containing groups"

As in claim 146

"protecting groups at the carboxyl are"

"methyl"

As in claim 146

"semi-permanent groups are"

As in claim 146

"-O-acetyl"

"permanent protecting groups are"

As in claim 146

"-O-benzyl"

"reactive group is"

As in claim 146

"Br"

"Cl"

"orthoester larring between 3 and 6 carbons"

As in claim 146

"C(NH)CCl₃"

Dependent Claims 149-154

Summary: Claims depending from Claim 144.

Ex. 12, Fig. 13, and Ex. 14, Fig. 14

Dependant Claims 155, 156

p. 43, line 20: compound XXIX

Summary: See claims.

Dependant Claim 157

Summary: See claim.

As in Example 17; Me is an inert blocking group or compound #3.

CLAIM DESCRIPTION

Independent Claim 158

Summary: A condensation as in claim 2, but a "temporary group" allows the condensation product to be elongated.

Specific claim language:

As in claim 2, but in addition

"temporary groups occupying any of carbon 1 and carbon 4"

"and are removable in the presence of the other protecting groups"

Independent Claim 159

Summary: As in claim 143, but a "temporary group" allows the condensation product to be elongated.

Specific claim language:

As in claim 158

EXAMPLES OF SUPPORT IN SPECIFICATION

As in Example 10, the allyl group in compound 51 is a temporary protecting group.

Compound 51: temporary group at carbon 1; compound 40; temporary group at carbon 4.

p. 12, line 6: "temporary protecting groups... are removable in the presence of the other groups..."

As in Example 6, compound 40; MCA (monochloroacetyl) is a temporary protecting group.

As in claim 158

CLAIM DESCRIPTION

EXAMPLES OF SUPPORT IN SPECIFICATION

Dependent Claims 160, 161

Summary: Same as dependent claims 4 and 5.

As in claim 4 and 5.

Dependent Claim 162

Summary: The step of elongating the condensation at the reducing (right) end.

Example 25, compound 96-97, (Fig. 20) then Example 38, compounds 97 and 147 (Fig. 28)

Dependent Claim 163

Summary: The step of elongating the claim at the non-reducing (left) end.

Example 7, compounds 42, 43 (Fig. 9), then Example 8, compounds 44 and 43 (Fig. 10)

Dependent Claim 164

Summary: Specific group meanings are provided.

Specific claim language:

As in claim 147, but

"temporary group is"

"-O-lower acyl"

p. 20, line 7: "-O-acyl group in particular -O-acetyl"

"-O-allyl"

p. 32, line 27

"-O-propenyl"

p. 32, line 27

"halogenated -O-lower acyl"

p. 31, line 22: "halogenated acyl radical, in particular a monochloro or trichloroacetyl radical".

"-O-p-methoxy benzoyl"

p. 34, line 16: "p-methoxy benzoyl"

CLAIM DESCRIPTION

Dependent Claim 165

Summary: More specific group meanings.

Independent Claim 166

The process of positioning functional groups on a protected heparinic polysaccharide.

Dependent Claim 167-170

Dependant Claims 171-174

Summary: see claims

Dependant Claim 175

Summary: see claim

EXAMPLES OF SUPPORT IN SPECIFICATION

As in claim 147 but in addition, temporary group meanings support found in specification as for claim 165.

As in Example 9, compounds 45-48. Specific claim language as in claim 142

As for dependant claims 144-147.

p. 28, lines 5-27

p. 24, line 21: "a saponification reaction is carried out..."

p. 25, line 4: "... the introduction of sulphate groups... is obtained."

CLAIM DESCRIPTION

Dependant Claim 176

Summary: see claim

Dependant Claim 177

Summary: see claim

Dependant Claims 178-180

Summary: see claim

Dependant Claim 181

Summary: see claim

Dependant Claim 182

Summary: see claim

Independent Claim 183

Summary: As in claim 166, but the protected heparinic polysaccharide can include a neutral sugar analog or a desoxy sugar analog.

Product Claims 184-199:

It is believed that support for the language in the product claims is provided by the examples of support listed for the process claims above.

EXAMPLES OF SUPPORT IN SPECIFICATION

Example 10, p. 83, line 4: "the solution is introduced at the top of a Sephadex LH₂₀ column....".

Example 10, p. 83, line 15: "... the residue... is passed through a ... Na⁺ column..."

p. 16, lines 13-24

p. 18, line 18

p. 19, line 4

p. 28, line 14

CLAIM DESCRIPTION

In addition, the term "an inert protecting group" is used.

"inert protecting group is"
"o-lower alkyl"

EXAMPLES OF SUPPORT IN SPECIFICATION

p. 29, line 22: "R...aliphatic or aromatic radical, particularly an alkyl radical comprising from 1-4 carbon atoms"

Ex. 17, Fig. 15, compounds 70-73, Me is an example of an inert protecting group

p. 29, lines 23: "alkyl radical comprising from 1 to 4 carbon atoms"